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     (FILE 'HOME' ENTERED AT 09:25:26 ON 24 FEB 2005)

     FILE 'HCAPLUS' ENTERED AT 09:25:31 ON 24 FEB 2005
L1           6 (US20040171818 OR US20050037982)/PN

     FILE 'REGISTRY' ENTERED AT 09:26:18 ON 24 FEB 2005

     FILE 'HCAPLUS' ENTERED AT 09:26:20 ON 24 FEB 2005
L2             TRA L1 1- RN :      724 TERMS

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L3           724 SEA L2

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L4           1 (US20040171818 OR US20050037982)/PN

=> b hcap
FILE 'HCAPLUS' ENTERED AT 09:26:51 ON 24 FEB 2005
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FILE COVERS 1907 - 24 Feb 2005  VOL 142 ISS 9
FILE LAST UPDATED: 23 Feb 2005  (20050223/ED)

 This file contains CAS Registry Numbers for easy and accurate
 substance identification.


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L1   ANSWER 1 OF 6  HCAPLUS  COPYRIGHT 2005 ACS on STN
AN   2005:140788  HCAPLUS
ED   Entered STN:  18 Feb 2005
TI   6-11 bicyclic ketolide derivatives
IN   Or, Yat Sun; Wang, Guoqiang; Phan, Ly Tam; Niu, Deqiang; Vo, Nha Huu; Qiu,
     Yao-ling; Wang, Yanchun; Busuyek, Marina; Hou, Ying; Peng, Yulin; Kim,
     Heejin; Liu, Tongzhu; Farmer, Jay Judson; Xu, Guoyou
PA   USA
SO   U.S. Pat. Appl. Publ., 210 pp., Cont.-in-part of U.S. Ser. No. 144,558,
     abandoned.
     CODEN: USXXCO
DT   Patent
LA   English
IC   ICM  C07H017-08
     ICS  A61K031-7048
NCL  514028000; 536007100
CC   33 (Carbohydrates)
FAN.CNT 10
     PATENT NO.          KIND   DATE        APPLICATION NO.        DATE
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PI US 2005037982 A1 20050217 US 2003-429485 20030505 <--
WO 2003097659 A1 20031127 WO 2003-US14669 20030509
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
EP 1506214 A1 20050216 EP 2003-733983 20030509
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
US 2004157787 A1 20040812 US 2003-717290 20031119
US 2004171818 A1 20040902 US 2004-758409 20040114 <--
US 2005009761 A1 20050113 US 2004-763377 20040123
PRAI US 2002-144558 B2 20020513
US 2002-144396 B2 20020513
US 2002-205018 - A2 20020725
US 2002-205357 A2 20020725
US 2003-429485 A 20030505
WO 2003-US14669 W 20030509
US 2003-436622 A2 20030513
US 2003-464188 A2 20030618

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 20050037982	ICM	C07H017-08
	ICS	A61K031-7048
	NCL	514028000; 536007100
US 2004157787	ECLA	C07H017/08F
US 2004171818	ECLA	C07H017/08F <--

AB The present invention discloses compounds of formula I, or pharmaceutically acceptable salts, esters, or prodrugs thereof: 1 which exhibit antibacterial properties. The present invention further relates to pharmaceutical compositions comprising the aforementioned compounds for administration to a subject in need of antibiotic treatment. The invention also relates to methods of treating a bacterial infection in a subject by administering a pharmaceutical composition comprising the compounds of the present invention. The invention further includes process by which to make the compounds of the present invention.

L1 ANSWER 2 OF 6 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 2005:34589 HCAPLUS
DN 142:114362
ED Entered STN: 14 Jan 2005
TI Preparation of glycoside bridged macrocyclic compounds as antibacterial agents
IN Or, Yat Sun
PA USA
SO U.S. Pat. Appl. Publ., 21 pp., Cont.-in-part of U.S. Ser. No. 464,188.
CODEN: USXXCO
DT Patent
LA English
IC ICM C07H017-08
ICS A61K031-7048
NCL 514028000; 536007100
CC 33-7 (Carbohydrates)
Section cross-reference(s): 1, 10, 63
FAN.CNT 10

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

PI	US 2005009761	A1	20050113	US 2004-763377	20040123
	US 2004023895	A1	20040205	US 2002-205018	20020725
	US 6841664	B2	20050111		
	US 6753318	B1	20040622	US 2002-205357	20020725
	US 2005037982	A1	20050217	US 2003-429485	20030505 <--
	US 2004053861	A1	20040318	US 2003-436622	20030513
	US 6764998	B1	20040720	US 2003-464188	20030618
PRAI	US 2002-144396	B2	20020513		
	US 2002-144558	B2	20020513		
	US 2002-205018	A2	20020725		
	US 2002-205357	A2	20020725		
	US 2003-429485	A2	20030505		
	US 2003-436622	A2	20030513		
	US 2003-464188	A2	20030618		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 2005009761	ICM	C07H017-08
	ICS	A61K031-7048
	NCL	514028000; 536007100
US 2004023895	ECLA	C07H017/08F
US 2004053861	ECLA	C07H017/08F

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The present invention provides a method for preparing bridged macrocyclic glycosides, e.g. I, wherein R is H, acyl, silane, hydroxy protecting group; L and R3 are independently H, aliphatic, alicyclic, aromatic, heteroarom., heterocyclic; one of U or V is H and the other is independently selected from R4, , OR4, OC(O)R4, oxy-amide, S(O)nR4, sugar residue; R4 is H, deuterium, alkyl, alicyclic, aromatic, heterocyclic; U and V, taken together with the carbon atom to which they are attached, are C:O, or UV and R1R2, taken together with the carbon atoms to which they are attached, are -C(R4)CH-; X and Y together with the carbon atom to which they are attached are CO, imine, oxime; X1 is H or halogen; n is 0-2, comprising the step of reacting a macrocyclic compound characterized by having at least two nucleophilic moieties with a bi-functional bridging reagent optionally in the presence of a catalyst, thereby producing a bridged macrocyclic product. Thus, macrolide II was prepared as potential antibacterial agent. This invention also encompasses pharmaceutical compns. containing, and methods of treating bacterial infections through administering, pharmaceutically acceptable prodrugs of compds. produced by the process of the present invention (no data).

ST aminodeoxy glycoside macrocyclic prepn antibacterial

IT Glycosides
RL: SPN (Synthetic preparation); PREP (Preparation)
(amino; preparation of glycoside bridged macrocyclic compds. as antibacterial agents)

IT Macrolides
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of glycoside bridged macrocyclic compds. as antibacterial agents)

IT 110-64-5, 2-Butene-1,4-diol 3513-81-3 13127-18-9 76801-85-9 652150-15-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of glycoside bridged macrocyclic compds. as antibacterial agents)

IT 116700-73-3P 134297-05-5P 314050-27-6P 620161-75-3P 625390-08-1P 625390-10-5P 652150-16-8P 652157-58-9P 823802-96-6P 823802-97-7P

823802-99-9P 823803-00-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of glycoside bridged macrocyclic compds. as antibacterial agents)
IT 620161-76-4P 823802-98-8P 823803-01-6P 823803-03-8P 823803-04-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of glycoside bridged macrocyclic compds. as antibacterial agents)

L1 ANSWER 3 OF 6 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:722951 HCAPLUS
DN 141:225773
ED Entered STN: 03 Sep 2004
TI Processes for the preparation of 6-11-bicyclic erythromycin derivatives via palladium-catalyzed condensation reaction
IN Xu, Guoyou; Tang, Datong; Gai, Yonghua; Kim, Heejin; Wang, Guoqiang; Phan, Ly Tam; Or, Yat Sun; Wang, Zhe
PA USA
SO U.S. Pat. Appl. Publ., 25 pp., Cont.-in-part of U.S. Ser. No. 436,622.
CODEN: USXXCO
DT Patent
LA English
IC ICM C07H017-08
NCL 536007400
CC 33-7 (Carbohydrates)
Section cross-reference(s): 1, 63
FAN.CNT 10

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	US 2004171818	A1	20040902	US 2004-758409	20040114	<--
	US 2005037982	A1	20050217	US 2003-429485	20030505	<--
	US 2004053861	A1	20040318	US 2003-436622	20030513	
PRAI	US 2002-144396	B2	20020513			
	US 2002-144558	B2	20020513			
	US 2003-429485	A2	20030505			
	US 2003-436622	A2	20030513			

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES	
US 2004171818	ICM	C07H017-08	
	NCL	536007400	
US 2004171818	ECLA	C07H017/08F	<--
US 2004053861	ECLA	C07H017/08F	

OS CASREACT 141:225773; MARPAT 141:225773
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The present invention relates to processes and intermediates for the preparation of 6-11 bicyclic erythromycin derivs. I, wherein R-R2 are independently selected from hydrogen, acyl, silane, aliphatic group, alicyclic group, aromatic group, heteroarom. group, saturated or unsatd. heterocyclic; Q is independently selected from R2, alkoxy, ester, heterocycle; Z is independently selected from R2, alkoxy, ester, amide, oxy-sulfonyl, were prepared I was prepared via palladium-catalyzed condensation of macrolide II with ester III. In particular, the present invention relates to processes and intermediates for the preparation of a macrolide IV.
ST prodrug erythromycin amino glycoside prepn palladium catalyzed condensation macrolide; bicyclic erythromycin amino glycoside prepn

palladium catalyzed condensation ester

IT Macrolides
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(glycosides; processes for preparation of bicyclic erythromycin derivs. via palladium catalyzed condensation reaction)

IT Glycosides
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(lactones, macrolides; processes for preparation of bicyclic erythromycin derivs. via palladium catalyzed condensation reaction)

IT Condensation reaction
Condensation reaction catalysts
(processes for preparation of bicyclic erythromycin derivs. via palladium catalyzed condensation reaction)

IT 7440-05-3, Palladium, uses 51364-51-3, Pd2(dba)3
RL: CAT (Catalyst use); USES (Uses)
(processes for preparation of bicyclic erythromycin derivs. via palladium catalyzed condensation reaction)

IT 314050-27-6P 321533-62-4P 620161-75-3P 620161-78-6P 628703-61-7P
748796-37-4P 748796-38-5P 748796-39-6P 748796-40-9P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(processes for preparation of bicyclic erythromycin derivs. via palladium catalyzed condensation reaction)

IT 625390-37-6P 748796-41-0P 748797-36-6P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(processes for preparation of bicyclic erythromycin derivs. via palladium catalyzed condensation reaction)

IT 288-13-1, Pyrazole 524-38-9, n-Hydroxyphthalimide 3513-81-3, 2-Methylene-1,3-propanediol 13127-18-9, Erythromycin a oxime 24424-99-5, Di-tert-butyl dicarbonate 73781-91-6, Methyl 6-chloronicotinate
RL: RCT (Reactant); RACT (Reactant or reagent)
(processes for preparation of bicyclic erythromycin derivs. via palladium catalyzed condensation reaction)

IT 7688-25-7, 1,4-Bis(diphenylphosphino)butane
RL: RGT (Reagent); RACT (Reactant or reagent)
(processes for preparation of bicyclic erythromycin derivs. via palladium catalyzed condensation reaction)

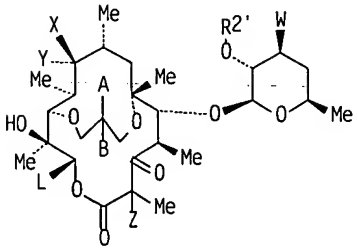
L1 ANSWER 4 OF 6 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:652626 HCAPLUS
DN 141:190995
ED Entered STN: 13 Aug 2004
TI Preparation of 6-11-bicyclic erythromycin ketolide derivatives as antibacterial agents
IN Or, Yat Sun; Guoqiang, Wang; Phan, Ly Tam; Niu, Deqiang; Vo, Nha Huu; Qiu, Yao-Ling; Wang, Yanchun; Busuyek, Marina; Hou, Ying; Peng, Yulin; Kim, Heejin; Liu, Tongzhu; Farmer, Jay Judson; Xu, Guoyav
PA USA
SO U.S. Pat. Appl. Publ., 156 pp., Cont.-in-part of U.S. Ser. No. 429,485.
CODEN: USXXCO
DT Patent
LA English
IC ICM A61K031-7048
ICS C07H017-08
NCL 514028000; 536007400
CC 33-7 (Carbohydrates)
Section cross-reference(s): 1, 10, 63
FAN.CNT 10

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

PI US 2004157787 A1 20040812 US 2003-717290 20031119
US 2005037982 A1 20050217 US 2003-429485 20030505 <--
PRAI US 2002-144558 B2 20020513
US 2003-429485 A2 20030505
CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 2004157787	ICM	A61K031-7048
	ICS	C07H017-08
	NCL	514028000; 536007400
US 2004157787	ECLA	C07H017/08F

OS MARPAT 141:190995
GI



I

AB 6-11 Bicyclic erythromycin ketolide derivs. I, wherein A is OH, ORp, where Rp is a hydroxy protecting group, R1, where R1 is aryl, heteroaryl, OR1, R2, where R2 is H, halogen, alkyl, alkenyl, alkynyl, OR2, amine, amide, sulfonyl, sulfonamide; B is H, deuterium, halogen, OH, R1, R2, ORp; A and B together with the carbon atom to which they are attached form CO, ketal, thioketal, alkylidene, oxime; one of X and Y is H and the other is H, deuterium, OH, ORp, amine; X and Y are together CO, imine; L is Me, Et, CH(OH)Me, alkyl, alkenyl, alkynyl; W is amine; Z is H, Me, halogen; R2' is H, Rp, were prepared as antibacterial agents. Thus, bicyclic erythromycin ketolide I, wherein A and B taken together with the carbon atom to which they are attached are C=CH2, X and Y taken together with the carbon atom to which they are attached are C=N-Ac, L = CH2CH3, Z = H, and R2' = Ac, was prepared and tested in vitro as antibacterial agent. The compds. of the invention demonstrated in vitro antibacterial activity of MIC in the range from about 64 .mu.g/mL to about 0.03 .mu.g/mL. The invention also relates to methods of treating a bacterial infection in a subject by administering a pharmaceutical composition comprising the compds. of the present invention. The present invention further relates to pharmaceutical compns. comprising the aforementioned compds. for administration to a subject in need of antibiotic treatment.

ST human bicyclic erythromycin ketolide macrolide glycoside prepn antibacterial

IT Glycosides
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(amino; preparation of bicyclic erythromycin ketolide derivs. as antibacterial agents)

IT Infection
(bacterial; preparation of bicyclic erythromycin ketolide derivs. as antibacterial agents)

IT Antibiotics
(macrolide; preparation of bicyclic erythromycin ketolide derivs. as antibacterial agents)

IT Antibacterial agents

Human
(preparation of bicyclic erythromycin ketolide derivs. as antibacterial agents)

IT 14221-01-3, Tetrakis(triphenylphosphine)palladium 31210-36-3
51364-51-3, Pd2(dba)3
RL: CAT (Catalyst use); USES (Uses)
(preparation of bicyclic erythromycin ketolide derivs. as antibacterial agents)

IT 628698-55-5P 628698-56-6P 628698-59-9P 628698-60-2P 628698-61-3P
628698-62-4P 628698-64-6P 628698-66-8P 628698-67-9P 628698-68-0P
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RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of bicyclic erythromycin ketolide derivs. as antibacterial agents)

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RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of bicyclic erythromycin ketolide derivs. as antibacterial agents)

IT 116700-73-3P 123784-07-6P 620161-75-3P 625389-96-0P 625389-97-1P
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RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of bicyclic erythromycin ketolide derivs. as antibacterial agents)

IT 62-53-3, Aniline, reactions 64-04-0, Phenethylamine 80-17-1 92-66-0
100-39-0 100-46-9, Benzylamine, reactions 101-55-3 103-64-0, .beta.-Bromostyrene 105-36-2 504-29-0, 2-Pyridinamine 524-38-9, N-Hydroxyphthalimide 590-17-0 591-50-4, Iodobenzene 613-94-5
622-30-0, Benzylhydroxylamine 622-33-3 932-87-6 1034-49-7
1449-46-3 1589-82-8, Benzylmagnesium bromide 1730-25-2, Allylmagnesium bromide 1782-39-4 1944-96-3 2038-57-5, Benzenepropanamine
2113-57-7 2567-29-5 3277-89-2, Phenethylmagnesium bromide 3319-99-1
3360-54-1 3513-81-3 4616-54-0 4732-11-0 4846-21-3 4916-55-6
4930-98-7 5332-24-1 7688-25-7 13214-66-9, Benzenebutanamine
14704-31-5 15256-11-8 18462-35-6 26146-77-0 26776-70-5, 1,3-Dihydroxyacetone dimer 27570-08-7 30777-95-8 30777-96-9
33675-41-1 36881-42-2 37756-48-2 37832-20-5 39854-54-1
52552-21-3 54624-57-6 55418-29-6 55418-32-1 58841-74-0
60691-90-9 64908-64-1 66305-82-6 72915-12-9 74771-11-2
78254-23-6 79349-78-3 83670-46-6 87413-09-0, Dess-Martin reagent
92856-14-9 94115-39-6 111321-02-9 115665-71-9 133609-18-4
133745-75-2, N-Fluorobenzenesulfonimide 144429-18-5 149649-90-1
150191-56-3 154357-82-1 160725-45-1 198694-68-7 205111-38-2
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628704-65-4 628704-66-5 628708-47-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of bicyclic erythromycin ketolide derivs. as antibacterial agents)

IT 87742-13-0
RL: RGT (Reagent); RACT (Reactant or reagent)
(preparation of bicyclic erythromycin ketolide derivs. as antibacterial agents)

L1 ANSWER 5 OF 6 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:220028 HCAPLUS
DN 140:236004
ED Entered STN: 19 Mar 2004
TI Preparation of 6,11-bicyclic erythromycin macrolides as antibacterial agents
IN Or, Yat Sun; Wang, Guoqiang; Phan, Ly Tam; Niu, Deqiang; Qiu, Yao-Ling; Vo, Nha Huu; Farmer, Jay Judson; Hou, Ying
PA USA
SO U.S. Pat. Appl. Publ., 43 pp., Cont.-in-part of U.S. Ser. No. 144,396, abandoned.
CODEN: USXXCO
DT Patent
LA English
IC ICM A61K031-7048
ICS A61K031-7052; C07H017-08
NCL 514028000; 536007100; 536017400
CC 33-7 (Carbohydrates)
Section cross-reference(s): 1, 10, 63
FAN.CNT 10

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2004053861	A1	20040318	US 2003-436622	20030513
	US 2004171818	A1	20040902	US 2004-758409	20040114 <--
	US 2005009761	A1	20050113	US 2004-763377	20040123
PRAI	US 2002-144396	B2	20020513		
	US 2002-144558	B2	20020513		
	US 2002-205018	A2	20020725		

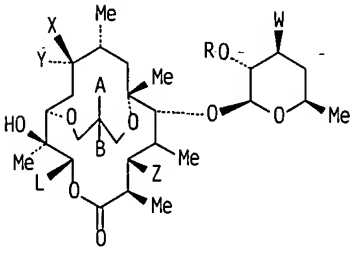
	US 2002-205357	A2	20020725
	US 2003-429485	A2	20030505
	US 2003-436622	A2	20030513
	US 2003-464188	A2	20030618

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 2004053861	ICM	A61K031-7048
	ICS	A61K031-7052; C07H017-08
	NCL	514028000; 536007100; 536017400
US 2004053861	ECLA	C07H017/08F
US 2004171818	ECLA	C07H017/08F <--

OS CASREACT 140:236004; MARPAT 140:236004

GI



I

AB 6,11-Bicyclic erythromycin macrolides I, wherein A is OH, OR1, R1 is hydroxy protecting group, aryl, heteroaryl, O-aryl, O-heteroaryl, H, halogen, alkyl, alkenyl, alkynyl, sulfonyl, amide, sulfonamide, amine; B is H, deuterium, halogen, OH, aryl, heteroaryl, OR1; A and B together are O, acetal, thioacetal, acyl, alkene, oxime; X and Y are independently H, deuterium, OR1, amine; X and Y together are CO, imine; L is Me, Et, CH(OH)Me, alkyl, alkenyl, alkynyl; W is amine; Z is H, OH, OR1, alkoxy, ester, O-amide, sulfonyl, heterocycle, or pharmaceutically acceptable salts, esters, or prodrugs thereof which exhibit antibacterial properties. The present invention further relates to pharmaceutical compns. comprising the aforementioned compds. for administration to a subject in need of antibiotic treatment. The invention also relates to methods of treating a bacterial infection in a subject by administering a pharmaceutical composition comprising the compds. of the present invention. The invention further includes process by which to make the compds. of the present invention. Title compds. were tested for in vitro antibacterial activity by a micro-dilution method and demonstrated an MIC in the range from about 64 .mu.g/mL to about 0.03 .mu.g/mL. According to the methods of treatment of the present invention, bacterial infections are treated or prevented in a patient such as a human or other animals by administering to the patient a therapeutically effective amount of a compound of the invention, in such amts. and for such time as is necessary to achieve the desired result (no data). Thus, I (A and B together with the carbon atom to which they are attached = C:CH2, X and Y together with the carbon atom to which they are attached = C:NAc, L = Et, W is NMe2, Z = R = H) was prepared and tested as antibacterial agent.

ST bicyclic erythromycin macrolide prepn antibacterial human prodrug

IT Antibiotics
(aminoglycoside; preparation of bicyclic erythromycin macrolides as antibacterial agents)

IT Infection
(bacterial; preparation of bicyclic erythromycin macrolides as antibacterial agents)

IT Antibiotics

(macrolide; preparation of bicyclic erythromycin macrolides as antibacterial agents)

IT Antibacterial agents
Antibiotics
Human
(preparation of bicyclic erythromycin macrolides as antibacterial agents)

IT Drug delivery systems
(prodrugs; preparation of bicyclic erythromycin macrolides as antibacterial agents)

IT 625390-06-9P 625390-26-3P 625390-39-8P 625390-42-3P 625390-44-5P
625390-48-9P 625390-49-0P 625390-51-4P 625390-52-5P 625390-53-6P
625390-54-7P 625390-55-8P 625390-56-9P 625390-57-0P 625390-58-1P
625390-59-2P 625390-60-5P 625390-61-6P 625390-62-7P 625390-63-8P
625390-64-9P 625390-65-0P
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of bicyclic erythromycin macrolides as antibacterial agents)

IT 625389-96-0P 625389-97-1P 625389-98-2P 625389-99-3P 625390-00-3P
625390-02-5P 625390-03-6P 625390-04-7P 625390-05-8P 625390-08-1P
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625390-37-6P 625390-38-7P 625390-40-1P 625390-41-2P 625390-43-4P
625390-45-6P 625390-46-7P 625390-47-8P 625390-50-3P 628703-03-7P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of bicyclic erythromycin macrolides as antibacterial agents)

IT 103-64-0, .beta.-Bromostyrene 501-81-5, 3-Pyridylacetic acid
1449-46-3, Benzyl triphenylphosphonium bromide 5332-24-1,
3-Bromoquinoline 7688-25-7, 1,4-Bis(diphenylphosphino)butane
13115-43-0, 2-Pyridylacetic acid 26776-70-5, 1,3-Dihydroxyacetone dimer
111321-02-9 315193-22-7 620161-75-3 625390-10-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of bicyclic erythromycin macrolides as antibacterial agents)

L1 ANSWER 6 OF 6 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 2003:931379 HCAPLUS
DN 140:16927
ED Entered STN: 28 Nov 2003
TI Preparation of 6-11 bicyclic erythromycin ketolide derivatives as antibacterial agents
IN Or, Yat Sun; Wang, Guoqiang; Phan, Ly Tam; Niu, Deqiang; Vo, Nha Huu; Qiu, Yao-ling; Wang, Yanchun; Busuyek, Marina; Hou, Ying; Peng, Yulin; Kim, Heejin; Liu, Tongzhu; Farmer, Jay Judson; Xu, Guoyou
PA Enanta Pharmaceuticals, Inc., USA
SO PCT Int. Appl., 249 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM C07H017-08
ICS A61K031-7048; A61P031-04
CC 33-7 (Carbohydrates)
Section cross-reference(s): 1, 10, 63

FAN.CNT 10

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003097659	A1	20031127	WO 2003-US14669	20030509

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
US 2005037982 A1 20050217 US 2003-429485 20030505 <--
EP 1506214 A1 20050216 EP 2003-733983 20030509
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
PRAI US 2002-144558 A 20020513
US 2003-429485 A 20030505
WO 2003-US14669 W 20030509
CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2003097659	ICM	C07H017-08
	ICS	A61K031-7048; A61P031-04

OS MARPAT 140:16927
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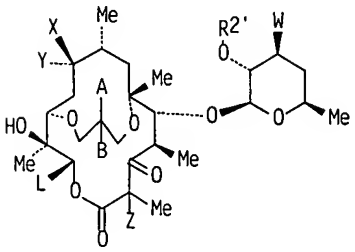

I

AB 6-11 Bicyclic erythromycin ketolide derivs. I, wherein A is OH, ORp, where Rp is a hydroxy protecting group, R1, where R1 is aryl, heteroaryl, OR1, R2, where R2 is H, halogen, alkyl, alkenyl, alkynyl, OR2, amine, amide, sulfonyl, sulfonamide; B is H, deuterium, halogen, OH, R1, R2, ORp; A and B together with the carbon atom to which they are attached form CO, ketal, thioketal, alkylidene, oxime; one of X and Y is H and the other is H, deuterium, OH, ORp, amine; X and Y are together CO, imine; L is Me, Et, CH(OH)Me, alkyl, alkenyl, alkynyl; W is amine; Z is H, Me, halogen; R2' is H, Rp, were prepared as antibacterial agents. Thus, bicyclic erythromycin ketolide I, wherein A and B taken together with the carbon atom to which they are attached are C=CH2, X and Y taken together with the carbon atom to which they are attached are C=N-Ac, L = CHCH3, Z = H, and R2' = Ac, was prepared and tested in vitro as antibacterial agent. The compds. of the invention demonstrated in vitro antibacterial activity of MIC in the range from about 64 .mu.g/mL to about 0.03 .mu.g/mL. The invention also relates to methods of treating a bacterial infection in a subject by administering a pharmaceutical composition comprising the compds. of the present invention. The present invention further relates to pharmaceutical compns. comprising the aforementioned compds. for administration to a subject in need of antibiotic treatment.

ST human bicyclic erythromycin ketolide macrolide glycoside prepn antibacterial

IT Glycosides
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(amino; preparation of bicyclic erythromycin ketolide derivs. as antibacterial agents)

IT Antibiotics
(aminoglycoside; preparation of bicyclic erythromycin ketolide derivs. as

antibacterial agents)

IT Infection
(bacterial; preparation of bicyclic erythromycin ketolide derivs. as antibacterial agents)

IT Antibiotics
(macrolide; preparation of bicyclic erythromycin ketolide derivs. as antibacterial agents)

IT Antibacterial agents
Antibiotics
Human
(preparation of bicyclic erythromycin ketolide derivs. as antibacterial agents)

IT 14221-01-3, Tetrakis(triphenylphosphine)palladium 31210-36-3
51364-51-3, Pd2(dba)3
RL: CAT (Catalyst use); USES (Uses)
(preparation of bicyclic erythromycin ketolide derivs. as antibacterial agents)

IT 628698-55-5P 628698-56-6P 628698-59-9P 628698-60-2P 628698-61-3P
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RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of bicyclic erythromycin ketolide derivs. as antibacterial agents)

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RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of bicyclic erythromycin ketolide derivs. as antibacterial agents)

IT 116700-73-3P 123784-07-6P 620161-75-3P 625389-96-0P 625389-97-1P
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RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of bicyclic erythromycin ketolide derivs. as antibacterial agents)

IT 62-53-3, Aniline, reactions 64-04-0, Phenethylamine 80-17-1 92-66-0
100-39-0 100-46-9, Benzylamine, reactions 101-55-3 103-64-0,
.beta.-Bromostyrene 105-36-2 504-29-0, 2-Pyridinamine 524-38-9,
N-Hydroxyphthalimide 590-17-0 591-50-4, Iodobenzene 613-94-5
622-30-0, Benzylhydroxylamine 622-33-3 932-87-6 1034-49-7
1449-46-3 1589-82-8, Benzylmagnesium bromide 1730-25-2, Allylmagnesium bromide 1782-39-4 1944-96-3 2038-57-5, Benzenepropanamine
2113-57-7 2567-29-5 3277-89-2, Phenethylmagnesium bromide 3319-99-1
3360-54-1 3513-81-3 4616-54-0 4732-11-0 4846-21-3 4916-55-6
4930-98-7 5332-24-1 7688-25-7 13214-66-9, Benzenebutanamine
14704-31-5 15256-11-8 18462-35-6 26146-77-0 26776-70-5,
1,3-Dihydroxyacetone dimer 27570-08-7 30777-95-8 30777-96-9
33675-41-1 36881-42-2 37756-48-2 37832-20-5 39854-54-1
52552-21-3 54624-57-6 55418-29-6 55418-32-1 58841-74-0

60691-90-9 64908-64-1 66305-82-6 72915-12-9 74771-11-2
78254-23-6 79349-78-3 83670-46-6 87413-09-0, Dess-Martin reagent
92856-14-9 94115-39-6 111321-02-9 115665-71-9 133609-18-4
133745-75-2, N-Fluorobenzenesulfonimide 144429-18-5 149649-90-1
150191-56-3 154357-82-1 160725-45-1 198694-68-7 205111-38-2
205111-39-3 205111-41-7 205114-21-2 207746-06-3 218431-37-9
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RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of bicyclic erythromycin ketolide derivs. as antibacterial agents)
IT 87742-13-0
RL: RGT (Reagent); RACT (Reactant or reagent)
(preparation of bicyclic erythromycin ketolide derivs. as antibacterial agents)
RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
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(1) Chu, D; US 5866549 A 1999 HCAPLUS

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AN 2004-651704 [63] WPIX
CR 2004-042432 [04]; 2004-061977 [06]; 2004-214344 [20]; 2004-226303 [21]; 2004-293950 [27]; 2004-542128 [52]; 2004-603360 [58]; 2005-090414 [10]
DNC C2004-233225
TI Preparation of 6-11 bicyclic erythromycin derivatives, useful as an intermediate in the preparation of bridged erythromycin derivatives, comprises reaction of bicyclic erythromycin derivatives with ester derivatives.
DC B02
IN GAI, Y; KIM, H; OR, Y S; PHAN, L T; TANG, D; WANG, G; WANG, Z; XU, G
PA (GAIY-I) GAI Y; (KIMH-I) KIM H; (ORYS-I) OR Y S; (PHAN-I) PHAN L T; (TANG-I) TANG D; (WANG-I) WANG G; (WANG-I) WANG Z; (XUGG-I) XU G
CYC 1
PI US 2004171818 A1 20040902 (200463)* 25 C07H017-08 <--
ADT US 2004171818 A1 CIP of US 2002-144396 20020513, CIP of US 2002-144558 20020513, CIP of US 2003-429485 20030505, CIP of US 2003-436622 20030513, US 2004-758409 20040114
PRAI US 2004-758409 20040114; US 2002-144396 20020513;
US 2002-144558 20020513; US 2003-429485 20030505;
US 2003-436622 20030513
IC ICM C07H017-08
AB US2004171818 A UPAB: 20050211
NOVELTY - Preparation of 6-11 bicyclic erythromycin derivatives (III) comprises reaction of bicyclic erythromycin derivatives (I) with ester derivatives (II).
DETAILED DESCRIPTION - Preparation of 6-11 bicyclic erythromycin derivatives of formula (III) comprises reaction of bicyclic erythromycin derivatives of formula (I) with ester derivatives of formula (II).
R1 = aliphatic, alicyclic (optionally substituted saturated), (hetero)aromatic (optionally substituted), heterocyclic (optionally saturated), H, acyl or silane; either
R3, R4 = aliphatic, alicyclic (optionally substituted saturated), (hetero)aromatic (optionally substituted), heterocyclic (optionally saturated), H or acyl; or
NR3R4 = heteroaromatic ring or optionally substituted heterocyclic;
Q = R1, OR1, OC(O)R1 or pyran derivative of formula (a);
Z = R1, OR1, OC(O)R1, OC(O)NR3R4 or OS(O)nR1; either
J, G = H, R1, OR1 or NR3R4; or
CJG = CO, CNR1, CNOR1, CNO(CH2)mR1, CNNHR1, CNNHCOR1, CNNHCONR3R4,

CNNHS(O)nR1 or CN-NCHR1;
R11, Rp = R1;
m = any integer; and
n = 0-2.
An INDEPENDENT CLAIM is also included for the preparation of pyridine derivative of formula (XI).
USE - (I) are useful as a intermediate in the preparation of bridged erythromycin derivatives.
ADVANTAGE - (III) increases oral availability, solubility to allow administration by injection and alter metabolism and rate of excretion.
Dwg.0/0
FS CPI
FA AB; GI; DCN
MC CPI: B02-E; B07-D04B; B07-D08

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